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(FILE 'HOME' ENTERED AT 08:19:01 ON 12 MAY 2005)

FILE 'REGISTRY' ENTERED AT 08:19:39 ON 12 MAY 2005
ACT AUD222F0/A

L1 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 204
L2 STR
L3 SCR 1994 AND 2005 AND 2021
L4 37 SEA CSS FUL L2 AND L3 NOT L1

SAV TEM L4 AUD222F0/A
SEL RN 27-32 13 18 8-11 2-4 L4
L5 15 SEA ABB=ON PLU=ON (162221-22-9/BI OR 162221-23-0/BI OR
162221-24-1/BI OR 162221-25-2/BI OR 162221-26-3/BI OR 162221-27
-4/BI OR 172089-13-3/BI OR 250784-51-1/BI OR 401901-37-9/BI OR
401901-38-0/BI OR 401901-39-1/BI OR 401901-40-4/BI OR 478062-52
-1/BI OR 478062-53-2/BI OR 478062-54-3/BI) AND L4

FILE 'HCAPLUS' ENTERED AT 08:38:48 ON 12 MAY 2005
L6 6 SEA ABB=ON PLU=ON L5

FILE 'HCAOLD' ENTERED AT 08:38:56 ON 12 MAY 2005
L7 0 SEA ABB=ON PLU=ON L5

FILE 'HCAPLUS' ENTERED AT 08:39:01 ON 12 MAY 2005
E GAIT M/AU
L8 181 SEA ABB=ON PLU=ON ("GAIT M J"/AU OR "GAIT MICHAEL"/AU OR
"GAIT MICHAEL J"/AU OR "GAIT MICHAEL JOHN"/AU OR "GAIT MIKE
J"/AU)
E STETSENKO D/AU
L9 42 SEA ABB=ON PLU=ON ("STETSENKO D"/AU OR "STETSENKO D A"/AU OR
"STETSENKO DMITRI A"/AU OR "STETSENKO DMITRII A"/AU OR
"STETSENKO DMITRIJ A"/AU OR "STETSENKO DMITRY"/AU OR "STETSENKO
DMITRY A"/AU)
L10 10546 SEA ABB=ON PLU=ON (MED? (1A) RES? (1A) COUNC?)/CS, PA
D BIB
L11 0 SEA ABB=ON PLU=ON L6 AND (L8 OR L9 OR L10)
L12 QUE ABB=ON PLU=ON PY<=1999 OR AY<=1999 OR PRY<=1999 OR
PD<19990827 OR AD<19990827 OR PRD<19990827
L13 3 SEA ABB=ON PLU=ON L6 AND L12
D SCA
L14 6 SEA ABB=ON PLU=ON L6 OR L13

=> b reg

FILE 'REGISTRY' ENTERED AT 08:43:04 ON 12 MAY 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 11 MAY 2005 HIGHEST RN 850303-40-1
DICTIONARY FILE UPDATES: 11 MAY 2005 HIGHEST RN 850303-40-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *

Search done by Noble Jarrell

6 lists

Q- why deleted

Applicable, come

Number on lists?

*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

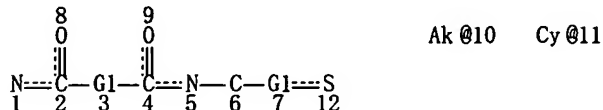
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d que sta l4

L1 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 0

R 2043 OR 2054

L2 STR



VAR G1=10/11

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 1

CONNECT IS M1 RC AT 6

CONNECT IS E2 RC AT 10

CONNECT IS E2 RC AT 11

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 11

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1-X4 C AT 10

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L3 SCR 1994 AND 2005 AND 2021

L4 37 SEA FILE=REGISTRY CSS FUL L2 AND L3 NOT L1

100.0% PROCESSED 491797 ITERATIONS

37 ANSWERS

SEARCH TIME: 00.00.27

=> b heap

FILE 'HCAPLUS' ENTERED AT 08:43:12 ON 12 MAY 2005

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FILE COVERS 1907 - 12 May 2005 VOL 142 ISS 20

FILE LAST UPDATED: 11 May 2005 (20050511/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

Search done by Noble Jarrell

=> d all hitstr l14 tot

L14 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:789649 HCAPLUS
 DN 138:34055
 ED Entered STN: 17 Oct 2002
 TI Design of Artificial Transcriptional Activators with Rigid Poly-L-proline Linkers
 AU Arora, Paramjit S.; Ansari, Aseem Z.; Best, Timothy P.; Ptashne, Mark; Dervan, Peter B.
 CS Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, 91125, USA
 SO Journal of the American Chemical Society (2002), 124(44), 13067-13071
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 CC 3-4 (Biochemical Genetics)
 Section cross-reference(s): 6
 AB Typical eukaryotic transcriptional activators are composed of distinct functional domains, including a DNA binding domain and an activating domain. Artificial transcription factors have been designed wherein the DNA binding domain is a minor groove DNA binding hairpin polyamide linked by a flexible tether to short activating peptides, typically 16-20 residues in size. In this study, the linker between the polyamide and the peptide was altered in an incremental fashion using rigid oligo-proline "mol. rulers" in the 18-45 Å length range. We find that there is an optimal linker length which separates the DNA and the activation region for transcription activation.
 ST design artificial transcription factor activator polyproline linker
 IT Molecular association
 (DNA binding; design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT Protein motifs
 (DNA-binding; design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT Protein motifs
 (activation domain (AD); design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT Transcriptional regulation
 (activation; design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT Transcription factors
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (artificial; design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT Protein engineering
 Transcription, genetic
 (design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT 25191-13-3, L-Proline, homopolymer 478429-32-2
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT 478062-52-1P 478062-53-2P 478062-54-3P
 478369-72-1P 478369-73-2P 478369-74-3P 478369-75-4P 478369-76-5P
 478369-77-6P 478369-78-7P 478369-79-8P 478369-80-1P 478369-81-2P
 478369-90-3P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (design of artificial transcriptional activators with rigid poly-L-proline linkers)
 IT 21379-66-8 29821-17-8 29821-19-0 29821-22-5 420131-12-0
 478062-50-9 478062-51-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (design of artificial transcriptional activators with rigid poly-L-proline linkers)
 RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
 RE

- (1) Ansari, A; Chem Biol 2001, V8, P583 HCAPLUS
- (2) Baird, E; J Am Chem Soc 1996, V118, P6141 HCAPLUS
- (3) Brenowitz, M; Methods Enzymol 1986, V130, P132 HCAPLUS
- (4) Denison, C; Chem Biol 1998, V5, P129
- (5) Gill, G; Nature 1988, V334, P721 HCAPLUS
- (6) Haugland, R; Handbook of Fluorescent Probes and Research Chemicals; 6th ed 1996
- (7) Kim, T; J Biol Chem 1993, V268, P20866 HCAPLUS
- (8) Kuznetsova, S; Nucleic Acids Res 1999, V27, P3995 HCAPLUS
- (9) Liu, B; J Am Chem Soc 2002, V124, P1838 HCAPLUS
- (10) Mapp, A; Proc Natl Acad Sci U S A 2000, V97, P3930 HCAPLUS
- (11) Mapp, A; Tetrahedron Lett 2000, V41, P9451 HCAPLUS
- (12) Ptashne, M; Genes and Signals 2001
- (13) Ptashne, M; Nature 1997, V386, P569 HCAPLUS
- (14) Senear, D; Biochemistry 1986, V25, P7344 HCAPLUS
- (15) Stanojevic, D; Biochemistry 2002, P7209 HCAPLUS
- (16) Stryer, L; Biochemistry 1967, V6, P719

IT 478062-52-1P 478062-53-2P 478062-54-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

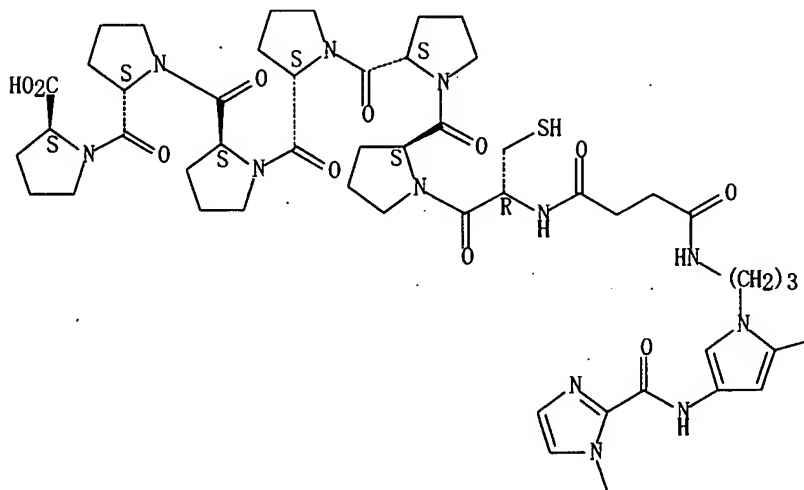
(design of artificial transcriptional activators with rigid poly-L-proline linkers)

RN 478062-52-1 HCAPLUS

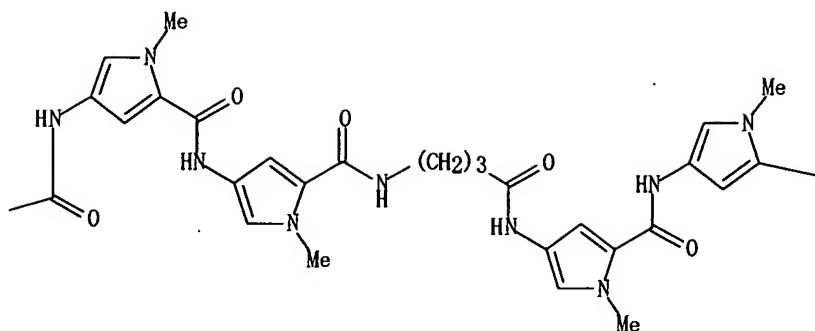
CN L-Proline, N-[4-[[[3-[2-[[[5-[[[5-[[[4-[[5-[[[5-[[[5-carboxy-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-4-[[[1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-1-yl]propyl]amino]-1,4-dioxobutyl]-L-cysteinyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-, (1→1')-amide with 4-amino-2,3,4,5-tetradecahydro-1-methylprolyl-N-[3-(dimethylamino)propyl]-β-alaninamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

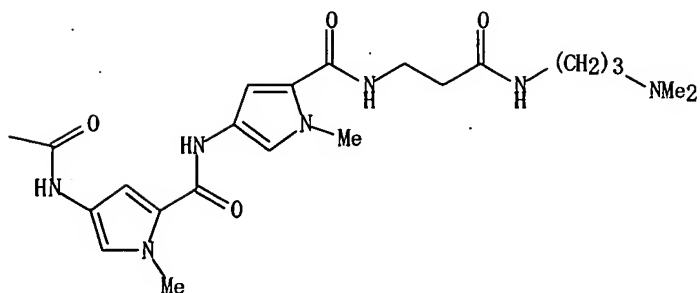
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 2-A

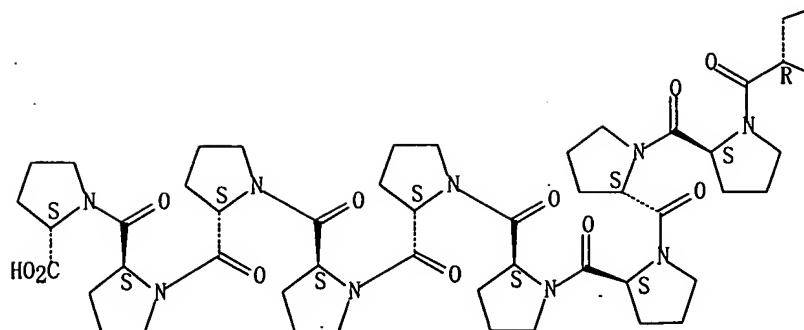


RN 478062-53-2 HCAPLUS
 CN L-Proline, N-[4-[[[3-[2-[[[5-[[[5-[[[4-[[5-[[[5-[[[5-carboxy-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-4-[[[1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-1-yl]propyl]amino]-1,4-dioxobutyl]-L-cysteinyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-L-prolyl-, (1+1')-amide with 4-amino-2,3,4,5-tetradecahydro-1-methylprolyl-N-[3-(dimethylamino)propyl]-β-alaninamide (9CI) (CA INDEX NAME)

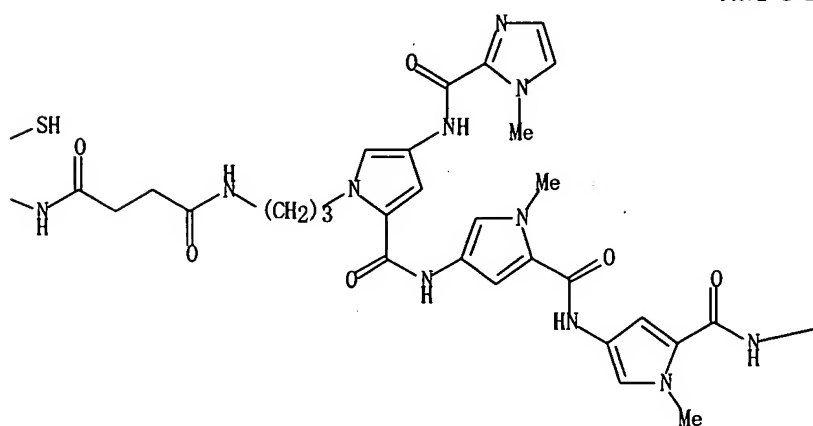
Absolute stereochemistry.

Search done by Noble Jarrell

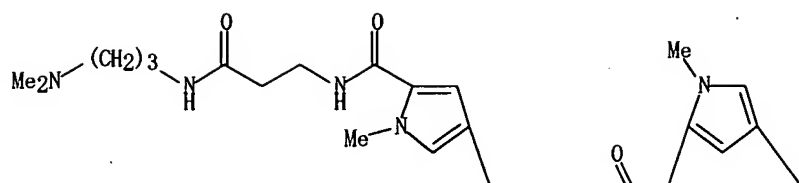
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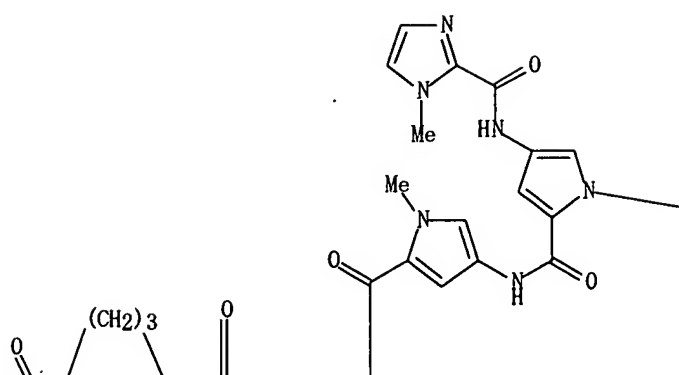
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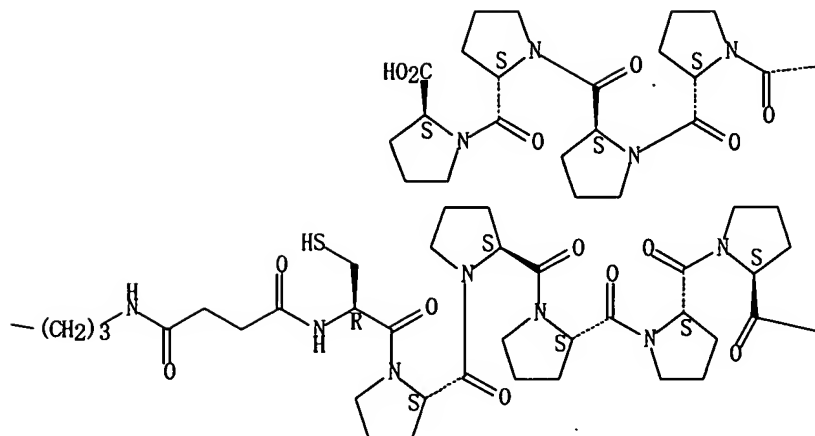
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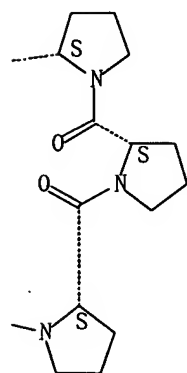
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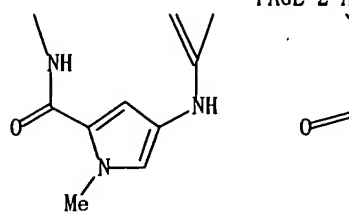
PAGE 1-C



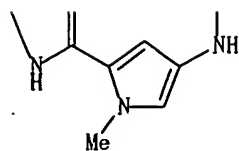
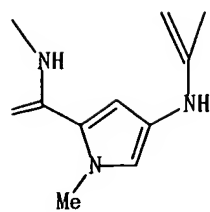
PAGE 1-D



PAGE 2-A



PAGE 2-B



L14 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:332061 HCAPLUS
 DN 136:363880
 ED Entered STN: 03 May 2002
 TI Synthetic regulatory compounds
 IN Dervan, Peter; Mapp, Anna; Ptashne, Mark; Ansari, Aseem
 PA Memorial Sloan-Kettering Cancer Center, USA; California Institute of
 Technology
 SO PCT Int. Appl., 103 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM A61K047-48
 ICS A61K049-00
 CC 1-12 (Pharmacology)
 Section cross-reference(s): 3, 5, 28, 34

FAN. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002034295	A1	20020502	WO 2000-US29617	20001027
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001013481	A5	20020506	AU 2001-13481	20001027
PRAI WO 2000-US29617	A	20001027		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002034295	ICM	A61K047-48
	ICS	A61K049-00

AB This invention provides novel synthetic regulatory compds. that comprise a nucleic acid binding moiety, a linker, and a regulatory moiety, compns. comprising such compds., methods of designing and synthesizing such compds., methods of screening such compds. to identify those having the desired regulatory activity, and methods of using such compds. to prevent or treat disease in plants and animals, including humans. These compds., and compns. containing them, have multiple applications, including use in human and animal medicine and in agriculture.

ST synthetic regulatory compd nucleic acid binding disease treatment

IT Transcription factors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (GAL4, peptides of, as linker moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)

IT Transcription factors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (GCN4, peptides of, as linker moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)

IT Heterocyclic compounds

RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (aromatic, nucleic acid-binding moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)

IT Drug delivery systems

(carriers; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)

IT Polyoxyalkylenes, biological studies

RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (conjugates with nucleic acid-binding and regulatory moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and

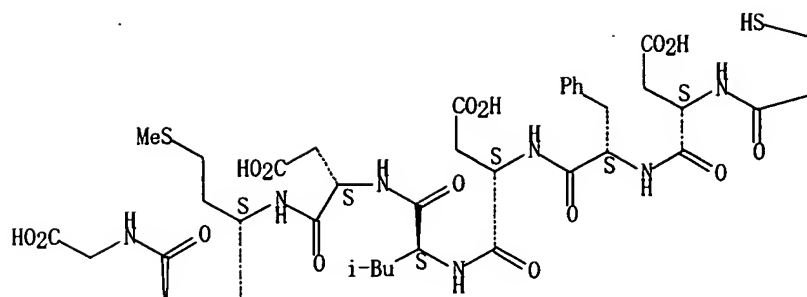
- linker and regulatory moiety for treatment of disease in animals and plants)
- IT DNA
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (double-stranded; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Gene
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (expression, modulation of; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Aromatic compounds
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (heterocyclic, nucleic acid-binding moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Drug delivery systems
 (liqs.; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Peptide nucleic acids
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (nucleic acid-binding and regulatory moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Oligonucleotides
 Polyamides, biological studies
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (nucleic acid-binding moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Transcription, genetic
 (regulation of; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Bos taurus
 Canis familiaris
 Equus caballus
 Felis catus
 Human
 Mus
 Ovis aries
 Primates
 Sus scrofa domestica
 (regulatory compound cells-containing; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Animal cell
 Cell
 Plant cell
 (regulatory compound-containing; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Carbohydrates, biological studies
 Organic compounds, biological studies
 Peptides, biological studies
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (regulatory moieties; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT Lipids, biological studies
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (regulatory moiety; synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of

- disease in animals and plants)
- IT Genetic element
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (regulatory, targeting to; synthetic regulatory compds. comprising
 nucleic acid binding moiety and linker and regulatory moiety for
 treatment of disease in animals and plants)
- IT Chromatin
 (remodeling of, targeting to; synthetic regulatory compds. comprising
 nucleic acid binding moiety and linker and regulatory moiety for
 treatment of disease in animals and plants)
- IT Drug delivery systems
 (solids; synthetic regulatory compds. comprising nucleic acid binding
 moiety and linker and regulatory moiety for treatment of disease in
 animals and plants)
- IT Disease, animal
 Disease, plant
 Drug screening
 Electrostatic force
 Hydrogen bond
 Van der Waals force
 (synthetic regulatory compds. comprising nucleic acid binding moiety
 and linker and regulatory moiety for treatment of disease in animals
 and plants)
- IT Nucleic acids
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); PAC
 (Pharmacological activity); THU (Therapeutic use); BIOL (Biological
 study); USES (Uses)
 (synthetic regulatory compds. comprising nucleic acid binding moiety
 and linker and regulatory moiety for treatment of disease in animals
 and plants)
- IT Reporter gene
 RL: BSU (Biological study, unclassified); BUU (Biological use,
 unclassified); BIOL (Biological study); USES (Uses)
 (synthetic regulatory compds. comprising nucleic acid binding moiety
 and linker and regulatory moiety for treatment of disease in animals
 and plants)
- IT 420131-12-0
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (acidic activator peptide moiety; synthetic regulatory compds.
 comprising nucleic acid binding moiety and linker and regulatory moiety
 for treatment of disease in animals and plants)
- IT 199932-50-8
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (activator moiety; synthetic regulatory compds. comprising nucleic acid
 binding moiety and linker and regulatory moiety for treatment of
 disease in animals and plants)
- IT 56-12-2, γ -Aminobutyric acid, biological studies 107-95-9,
 β -Alanine 109-97-7, Pyrrole 109-97-7D, Pyrrole, derivs.
 288-32-4, Imidazole, biological studies 288-32-4D, Imidazole, derivs.
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (internal moiety; synthetic regulatory compds. comprising nucleic acid
 binding moiety and linker and regulatory moiety for treatment of
 disease in animals and plants)
- IT 199932-50-8D, peglated
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (linker moiety; synthetic regulatory compds. comprising nucleic acid
 binding moiety and linker and regulatory moiety for treatment of
 disease in animals and plants)
- IT 401901-37-9P 401901-38-0P 422551-21-1P 422551-23-3P
 422551-25-5P 422551-26-6P 422551-27-7P 422551-29-9P
 RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (synthetic regulatory compds. comprising nucleic acid binding moiety
 and linker and regulatory moiety for treatment of disease in animals
 and plants)

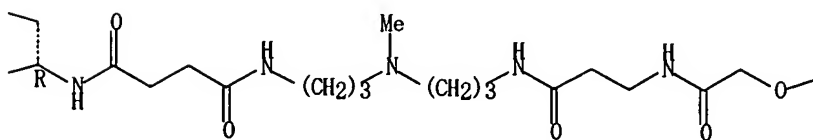
- IT 25322-68-3D, PEG, conjugates with nucleic acid-binding and regulatory moieties 199932-50-8D, derivs 420131-13-1 420270-14-0D, conjugates with polyamides 420270-15-1D, conjugates with polyamides 420270-16-2D, conjugates with polyamides 420270-17-3
 RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT 401901-40-4
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (synthetic regulatory compds. comprising nucleic acid binding moiety and linker and regulatory moiety for treatment of disease in animals and plants)
- IT 3194-60-3, Thiolane-2,5-dione 288573-46-6 401901-36-8
 401901-39-1 420131-15-3 420131-16-4 420131-17-5
 420270-13-9 420270-18-4 420270-19-5
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- IT 288573-47-7P 325967-08-6P 325967-09-7P 325967-10-0P 420131-14-2P
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- IT 422551-20-0P
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- IT 421614-50-8 421614-51-9 421614-52-0 421614-53-1 421614-54-2
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 RL: PRP (Properties)
 (unclaimed nucleotide sequence; synthetic regulatory compds.)
- RE. CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
- RE
- (1) Gottesfeld, J; NATURE (LONDON) 1997, V387(6629), P202 HCAPLUS
 - (2) Lu, X; PROC NATL ACAD SCI U S A 2000, V97(5), P1988 HCAPLUS
 - (3) Mapp, A; PROC NATL ACAD SCI U S A 2000, V97(8), P3930 HCAPLUS
 - (4) Mapp, A; TETRAHEDRON LETT 2000, V41(49), P9451 HCAPLUS
 - (5) Oakley, M; BIOCHEMISTRY 1992, V31(45), P10969 HCAPLUS
- IT 401901-37-9P 401901-38-0P
 RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
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- RN 401901-37-9 HCAPLUS
- CN Glycine, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-β-alanyl-19-amino-5-oxo-3,10,13,16-tetraoxa-6-azanonadecanoyl-β-alanyl-4-[[3-[(3-aminopropyl)methylamino]propyl]amino]-4-oxobutanoyl-L-cysteinyl-L-α-aspartyl-L-phenylalanyl-L-α-aspartyl-L-leucyl-L-α-aspartyl-L-methionyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

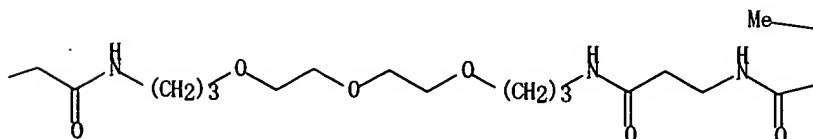
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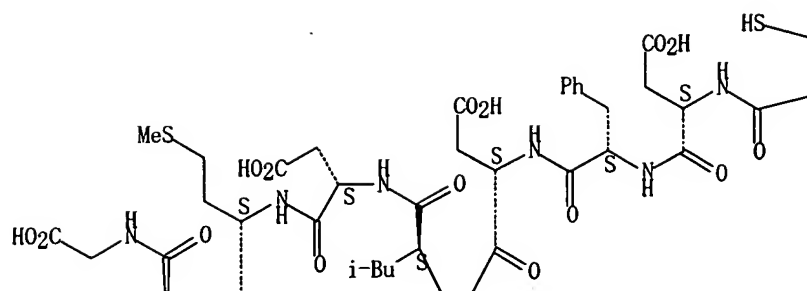
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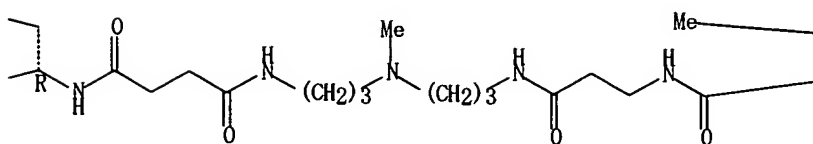
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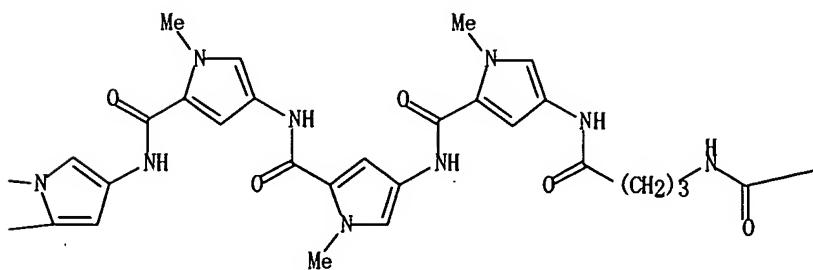
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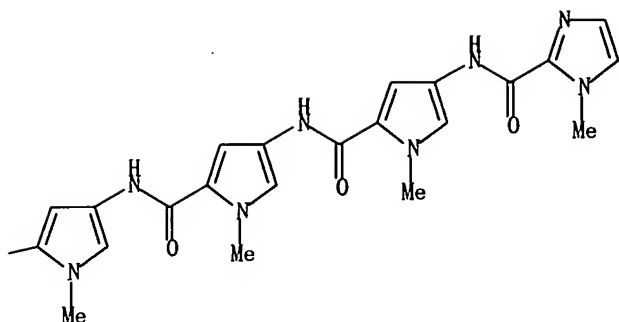
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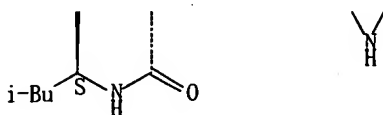
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PAGE 2-A



IT 401901-40-4

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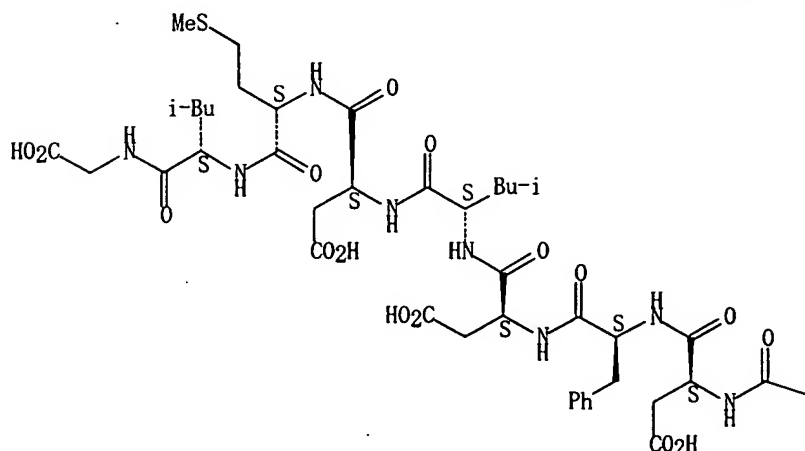
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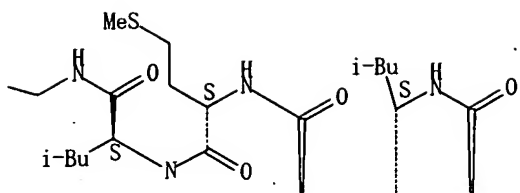
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Absolute stereochemistry.

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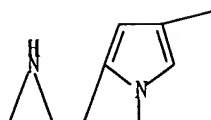


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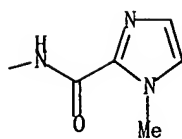
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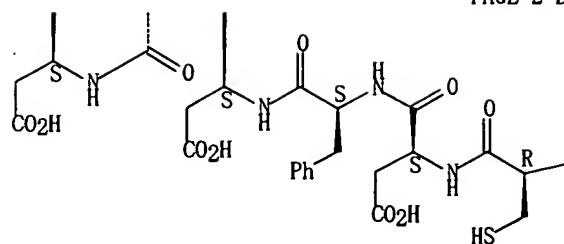


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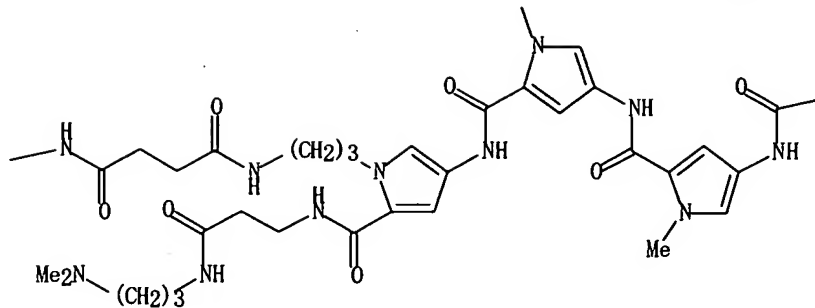


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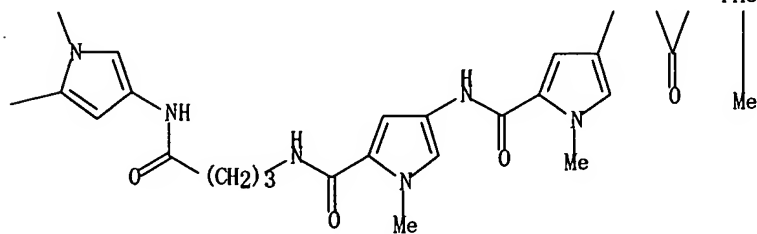
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IT 401901-39-1

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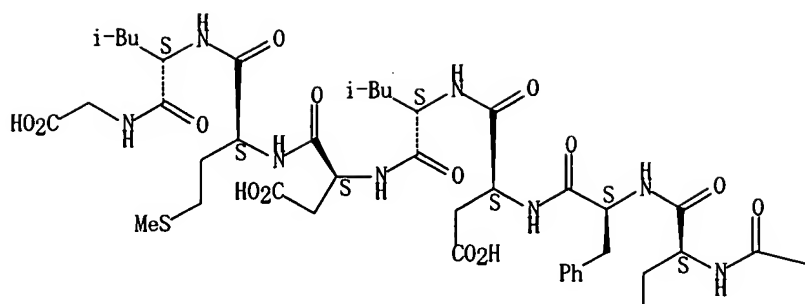
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RN 401901-39-1 HCAPLUS

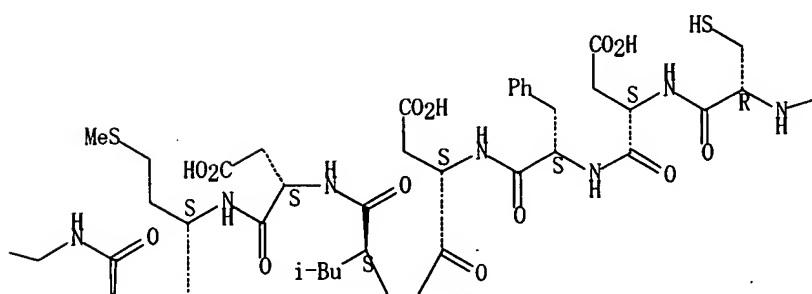
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Absolute stereochemistry.

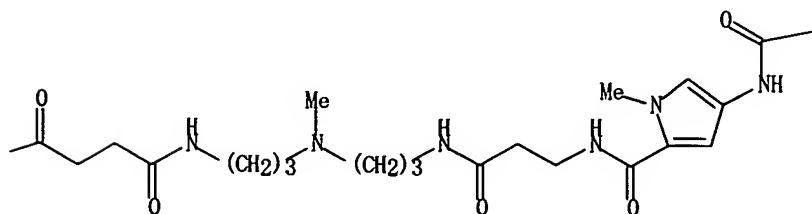
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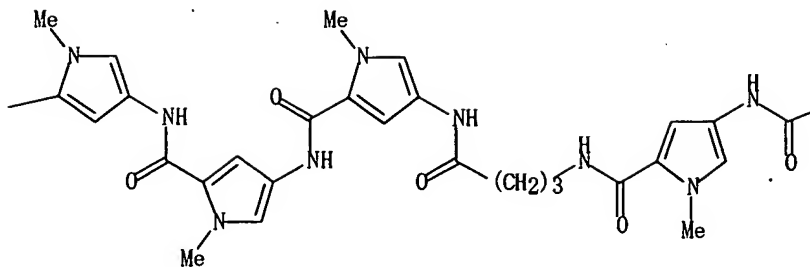


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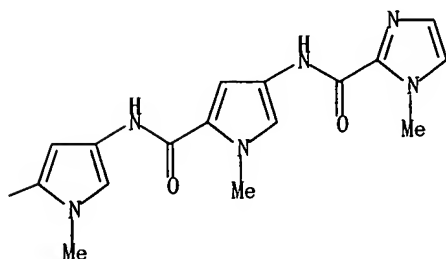


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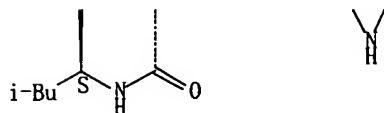
PAGE 1-E



PAGE 2-A



PAGE 2-B



L14 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:590256 HCAPLUS
 DN 136:211714
 ED Entered STN: 15 Aug 2001
 TI Towards a minimal motif for artificial transcriptional activators
 AU Ansari, Aseem Z.; Mapp, Anna K.; Nguyen, Doan H.; Dervan, Peter B.;
 Ptashne, Mark
 CS Molecular Biology Program, Memorial Sloan-Kettering Cancer Center,
 Sloan-Kettering Institute, New York, NY, 10021, USA
 SO Chemistry & Biology (2001), 8(6), 583-592
 CODEN: CBOLE2; ISSN: ~~1074~~-5521
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 CC 3-4 (Biochemical Genetics)

Search done by Noble Jarrell

Section cross-reference(s): 1, 6

- AB Background: Most transcriptional activators minimally comprise two functional modules, one for DNA binding and the other for activation. Several activators also bear an oligomerization region and bind DNA as dimers or higher order oligomers. In a previous study the authors substituted these domains of a protein activator with synthetic counterparts. An artificial transcriptional activator, 4.2 kDa in size, comprised of a DNA binding hairpin polyamide tethered to a 20 residue activating peptide (AH) was shown to stimulate promoter specific transcription. The question arises as to the general nature and the versatility of this minimal activator motif and whether smaller ligands can be designed which maintain potent activation function. Results: Here the authors have replaced the 20 amino acid AH peptide with eight or 16 residues derived from the activation domain of the potent viral activator VP16. The 16 residue activation module coupled to the polyamide activated transcription over two-fold better than the analogous AH conjugate. Altering the site of attachment of the activation module on the polyamide allowed reduction of the intervening linker from 36 atoms to eight without significant diminution of the activation potential. In this study the authors also exchanged the polyamide to target a different sequence without compromising the activation function further demonstrating the generality of this design. Conclusions: The polyamide activator conjugates described here represent a class of DNA binding ligands which are tethered to a second functional moiety, viz. an activation domain, that recruits elements of the endogenous transcriptional machinery. The results define the minimal structural elements required to construct artificial, small mol. activators. If such activators are cell-permeable and can be targeted to designated sites in the genome, this series of conjugates may then serve as a tool to study mechanistic aspects of transcriptional regulation and eventually to modulate gene expression relevant to human diseases.
- ST minimal motif artificial transcription activator; polyamine DNA binding region peptide activation motif artificial transactivator
- IT Polyamides, biological studies
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (DNA-binding hairpin; minimal structural elements for artificial transcriptional activators)
- IT Transcription factors
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (VP16, transcription activation domain from; minimal structural elements for artificial transcriptional activators)
- IT Promoter (genetic element)
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (minimal structural elements for artificial transcriptional activators)
- IT Transcription factors
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthetic; minimal structural elements for artificial transcriptional activators)
- IT Protein motifs
 (transcription activation domain; minimal structural elements for artificial transcriptional activators)
- IT Structure-activity relationship
 (transcription-activating; minimal structural elements for artificial transcriptional activators)
- IT 288573-46-6 401901-36-8
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
 (DNA-binding hairpin polyamine and linker; minimal structural elements for artificial transcriptional activators)
- IT 401901-37-9P 401901-38-0P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (DNA-binding hairpin polyamine conjugated to transcription activator VP16 peptide VP1; minimal structural elements for artificial

- transcriptional activators)
- IT 401901-39-1P 401901-40-4P 401901-58-4P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (DNA-binding hairpin polyamine conjugated to transcription activator VP16 peptide VP2; minimal structural elements for artificial transcriptional activators)
- IT 401901-57-3P 401901-59-5P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (DNA-binding hairpin polyamine conjugated to transcription activator peptide AH; minimal structural elements for artificial transcriptional activators)

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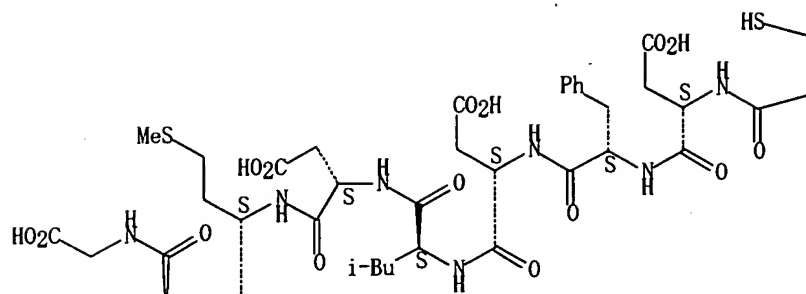
- RE
- (1) Ansari, A; Proc Natl Acad Sci USA 1998, V95, P13543 HCAPLUS
 - (2) Baird, E; J Am Chem Soc 1996, V118, P6141 HCAPLUS
 - (3) Beerli, R; Proc Natl Acad Sci USA 2000, V97, P1495 HCAPLUS
 - (4) Blair, W; Mol Cell Biol 1994, V14, P7226 HCAPLUS
 - (5) Bremer, R; Chem Biol 1998, V5, P119 HCAPLUS
 - (6) Brenowitz, M; Methods Enzymol 1986, V130, P132 HCAPLUS
 - (7) Dervan, P; Curr Opin Chem Biol 1999, V3, P688 HCAPLUS
 - (8) Dickinson, L; Proc Natl Acad Sci USA 1998, V95, P12890 HCAPLUS
 - (9) Drysdale, C; Mol Cell Biol 1995, V15, P1220 HCAPLUS
 - (10) Gerber, H; Science 1994, V263, P808 HCAPLUS
 - (11) Giniger, E; Nature 1987, V330, P670 HCAPLUS
 - (12) Gottesfeld, J; Nature 1997, V387, P202 HCAPLUS
 - (13) Ho, S; Nature 1996, V382, P822 HCAPLUS
 - (14) Janssen, S; Mol Cell 2000, V6, P1013 HCAPLUS
 - (15) Kielkopf, C; Nature Struct Biol 1998, V5, P104 HCAPLUS
 - (16) Kielkopf, C; Science 1998, V282, P111 HCAPLUS
 - (17) Kim, Y; Cell 1994, V77, P599 HCAPLUS
 - (18) Koh, S; Mol Cell 1998, V1, P895 HCAPLUS
 - (19) Koleske, A; Nature 1994, V368, P466 HCAPLUS
 - (20) Kuznetsova, S; Nucleic Acids Res 1999, V27, P3995 HCAPLUS
 - (21) Lee, T; Annu Rev Genet 2000, V34, P77 HCAPLUS
 - (22) Mapp, A; Proc Natl Acad Sci USA 2000, V97, P3930 HCAPLUS
 - (23) Mapp, A; Tetrahedron Lett 2000, V41, P9451 HCAPLUS
 - (24) Natesan, S; Proc Natl Acad Sci USA 1999, V96, P13898 HCAPLUS
 - (25) Nyanguile, O; Proc Natl Acad Sci USA 1997, V94, P13402 HCAPLUS
 - (26) Ohashi, Y; Mol Cell Biol 1994, V13, P2731
 - (27) Peterson, C; Curr Opin Genet Dev 2000, V10(2), P187 HCAPLUS
 - (28) Ptashne, M; Nature 1997, V386, P569 HCAPLUS
 - (29) Sadowski, I; Nature 1988, V335, P563 HCAPLUS
 - (30) Seipel, K; Biol Chem Hoppe Seyler 1994, V375, P463 HCAPLUS
 - (31) Seipel, K; EMBO J 1992, V11, P4961 HCAPLUS
 - (32) Tanaka, M; Proc Natl Acad Sci USA 1996, V93, P4311 HCAPLUS
 - (33) Utley, R; Nature 1998, V394, P498 HCAPLUS
 - (34) Wemmer, D; Curr Opin Struct Biol 1997, V7, P355 HCAPLUS
 - (35) White, S; Chem Biol 1997, V4, P569 HCAPLUS
 - (36) White, S; Nature 1998, V391, P468 HCAPLUS
 - (37) Wu, Y; EMBO J 1996, V15, P3951 HCAPLUS

- IT 401901-37-9P 401901-38-0P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (DNA-binding hairpin polyamine conjugated to transcription activator VP16 peptide VP1; minimal structural elements for artificial transcriptional activators)
- RN 401901-37-9 HCAPLUS
- CN Glycine, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-β-alanyl-19-amino-5-oxo-3,10,13,16-tetraoxa-6-azanonadecanoyl-β-alanyl-4-[[3-[(3-aminopropyl)methylamino]propyl]amino]-4-oxobutanoyl-L-cysteinyl-L-α-aspartyl-L-phenylalanyl-L-α-aspartyl-L-leucyl-L-α-aspartyl-L-

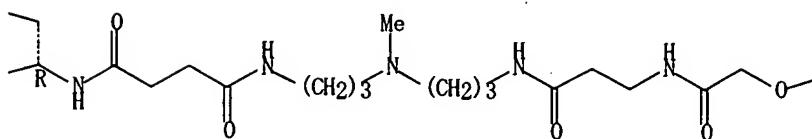
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Absolute stereochemistry.

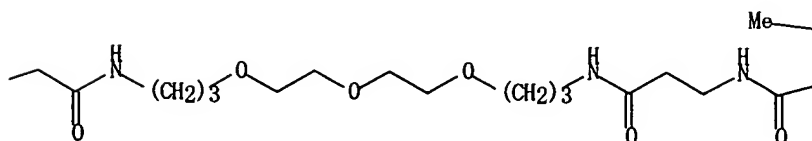
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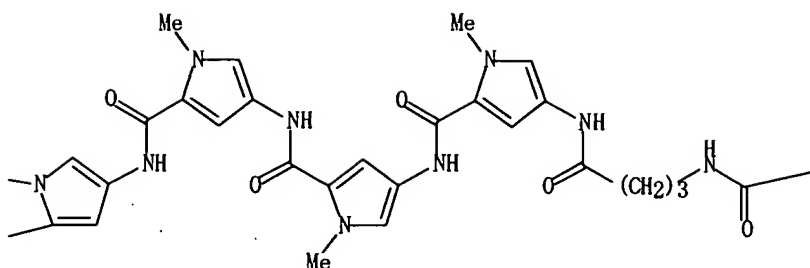
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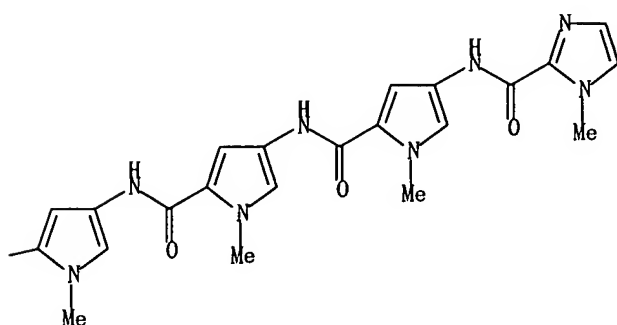
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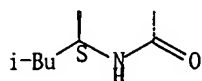
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PAGE 1-E



PAGE 2-A

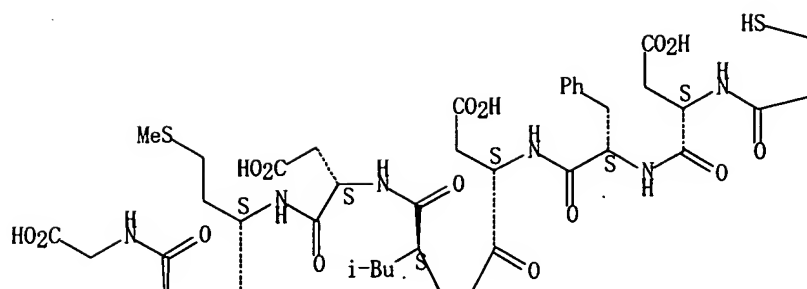


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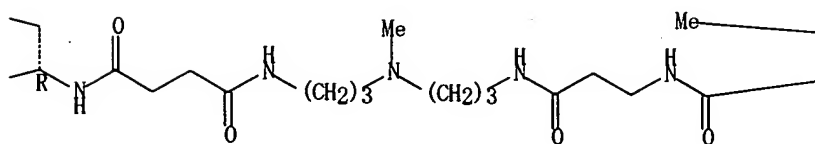
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Absolute stereochemistry.

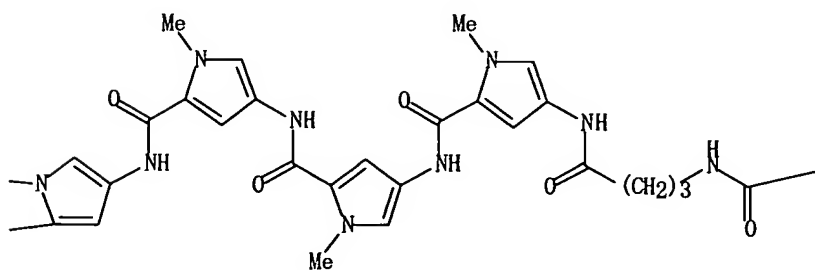
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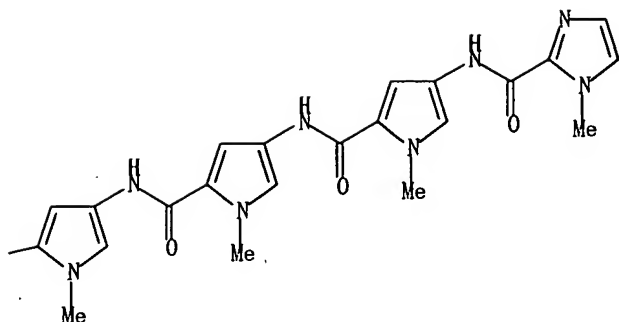
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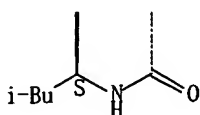
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IT 401901-39-1P 401901-40-4P

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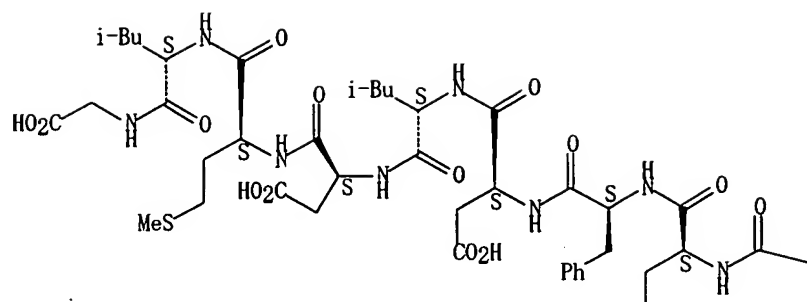
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RN 401901-39-1 HCAPLUS

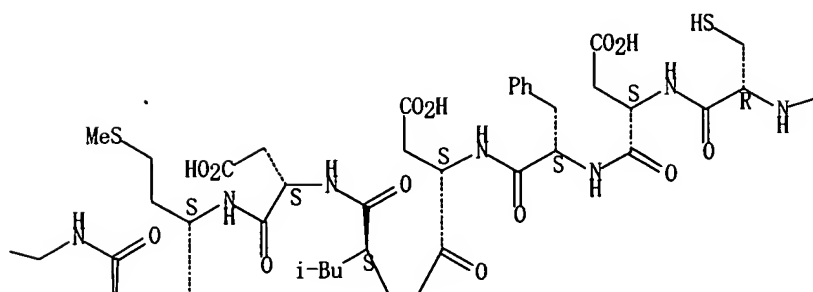
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Absolute stereochemistry.

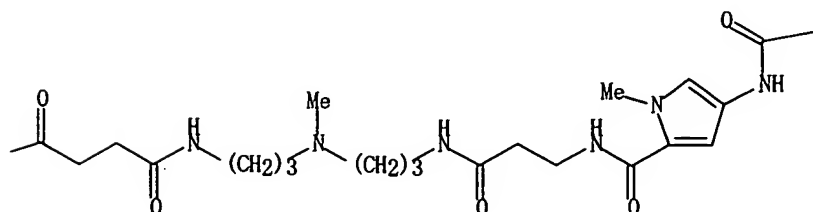
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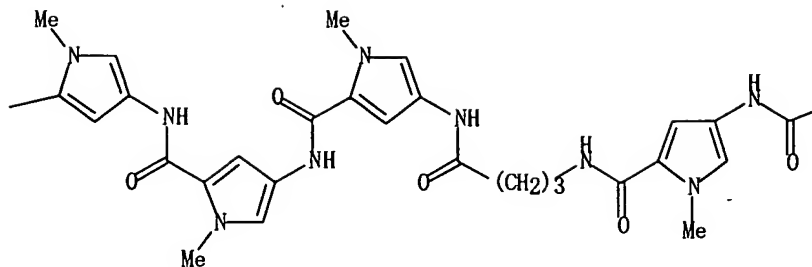
PAGE 1-B



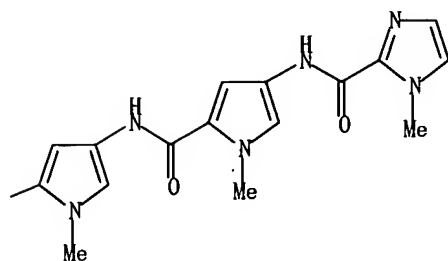
PAGE 1-C



PAGE 1-D



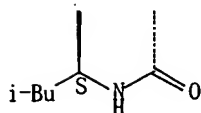
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PAGE 2-A



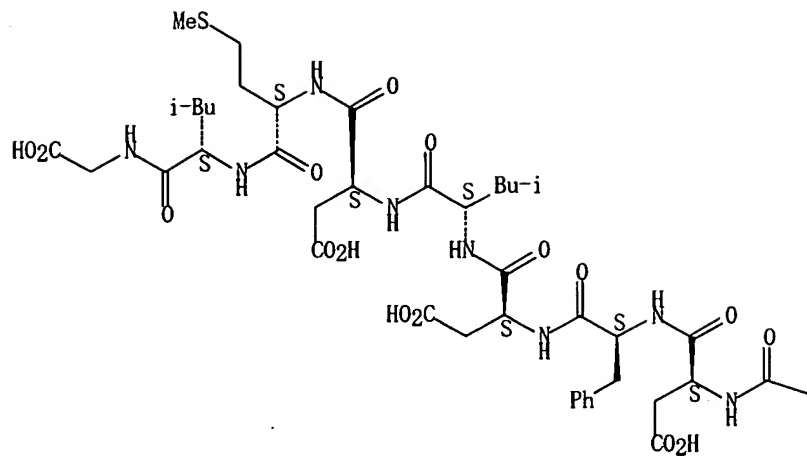
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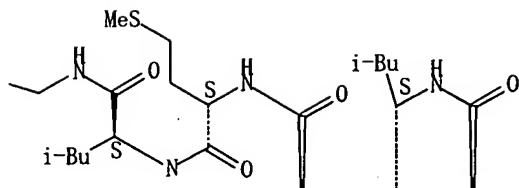
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 CN Glycine, 1-methyl-1H-imidazole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-[[3-[4-amino-2-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1H-pyrrol-1-yl]propyl]amino]-4-oxobutanoyl-L-cysteinyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-methionyl-L-leucylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-methionyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

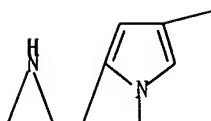


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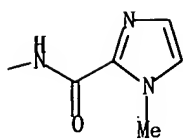
Me
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PAGE 1-D

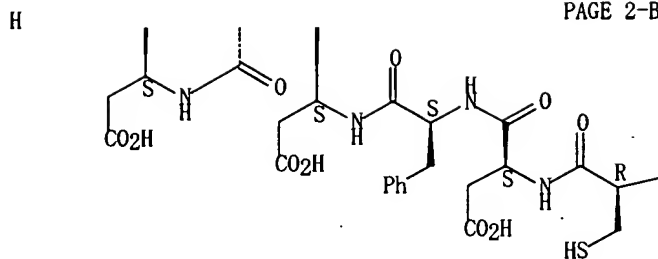
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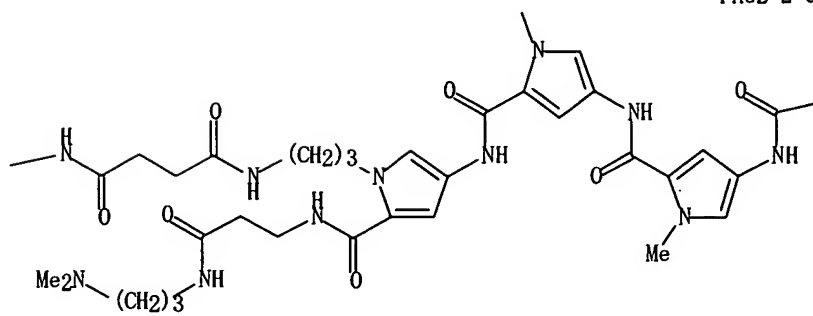
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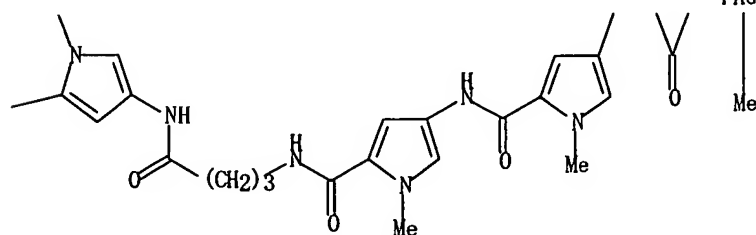
PAGE 2-B



PAGE 2-C



PAGE 2-D



L14 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1999:578886 HCAPLUS
 DN 132:666
 ED Entered STN: 15 Sep 1999 *PD*
 TI Dimers of bradykinin and substance P antagonists as potential anti-cancer drugs
 AU Stewart, J. M.; Gera, L.; Chan, D. C.
 CS Department of Biochemistry, University of Colorado Medical School, Denver, CO, 80262, USA
 SO Peptide Science: Present and Future, Proceedings of the International Peptide Symposium, 1st, Kyoto, Nov. 30-Dec. 5, 1997 (1999), Meeting Date 1997, 731-732. Editor(s): Shimonishi, Yasutsugu. Publisher: Kluwer, Dordrecht, Neth. CODEN: 68BYA5
 DT Conference
 LA English
 CC 2-10 (Mammalian Hormones)
 Section cross-reference(s): 1
 AB The authors report dimers of bradykinin (BK) and substance P (SP) antagonists and heterodimers of SP and BK antagonists that are potent selectively cytotoxic agents for small cell lung cancer (SCLC). Although straight-chain analogs of SP and bombesin have shown toxicity against SCLC, none of the simple BK antagonists were toxic to cells, although they were very effective for inhibition of BK-evoked elevation of intracellular free calcium in SCLC cultures. Typical of this behavior is B-9430, a very potent 'third-generation' BK antagonist which is active against both B1 and B2 BK receptors and shows a long half-life in vivo. When this antagonist was crosslinked by suberimide at the N-terminus (B-201), potent cytotoxic activity was found. Dimers of 'first-generation' BK antagonists, such as CP-127, were introduced by investigators at Cortech, and while they are quite potent antagonists in many BK assays, were not cytotoxic. When the linker in CP-127 was moved to the N-terminus of the dimer (B-197) significant toxicity was found. Even dimers of the potent 'second-generation' Hoechst antagonist HOE-140 showed only low cytotoxicity against SCLC. Orosz et al. reported that a pseudopeptide substance P antagonist (B-237) was active against SCLC. The authors confirmed this activity, and found that neither a homodimer (B-240) nor a heterodimer of this peptide with the best BK antagonist (B-215) showed increased cytotoxicity. Certain of these new dimers are toxic to SCLC lines that show multidrug resistance phenotypes, testifying to the different mechanism of toxicity of these agents. Preliminary studies indicate that these new dimers act by stimulation of apoptosis in SCLC cells. Peptide dimer B-201 inhibited the growth of SCLC cell line SHP-77 when implanted s.c. in athymic (nude) mice. These dimers offer a new avenue for anti-cancer drug development.
 ST bradykinin substance P antagonist dimers anticancer drug
 IT Tachykinin receptors
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (NK1; dimers of bradykinin and substance P antagonists as potential anti-cancer drugs)
 IT Bradykinin receptors
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (dimers of bradykinin and substance P antagonists as potential anti-cancer drugs)
 IT Antitumor agents
 (lung small-cell carcinoma; dimers of bradykinin and substance P antagonists as potential anti-cancer drugs)
 IT Lung, neoplasm
 Lung, neoplasm
 (small-cell carcinoma, inhibitors; dimers of bradykinin and substance P antagonists as potential anti-cancer drugs)
 IT 157967-60-7, CP-127 180981-09-3 215713-39-6 215713-84-1 250784-51-1 250784-52-2 250784-53-3, B 240 250784-54-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dimers of bradykinin and substance P antagonists as potential

anti-cancer drugs)

RE. CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Chan, D; Immunopharmacology 1996, V33, P201 HCAPLUS
- (2) Orosz, A; Int J Cancer 1995, V60, P82 HCAPLUS
- (3) Stewart, J; Can J Physiol Pharmacol 1997, V75, P719 HCAPLUS
- (4) Wirth, K; Br J Pharmacol 1991, V102, P774 HCAPLUS

IT 250784-51-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

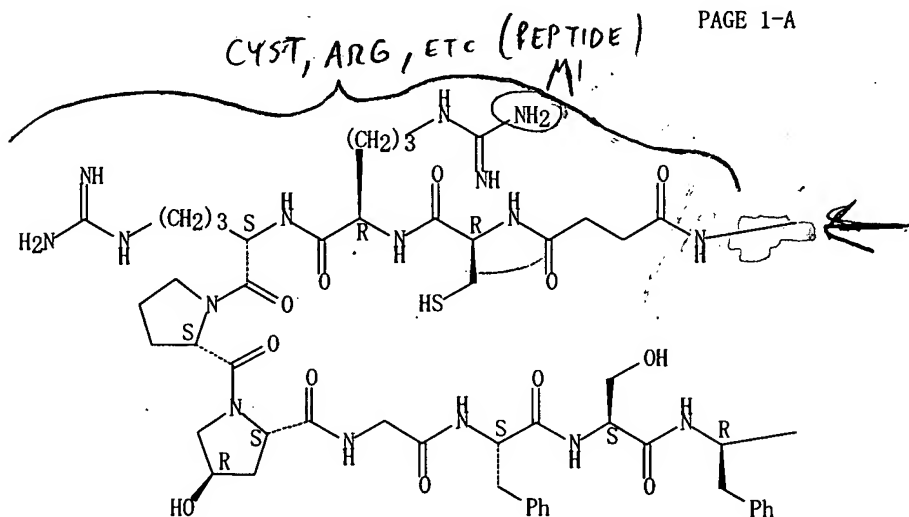
(dimers of bradykinin and substance P antagonists as potential anti-cancer drugs)

RN 250784-51-1 HCAPLUS

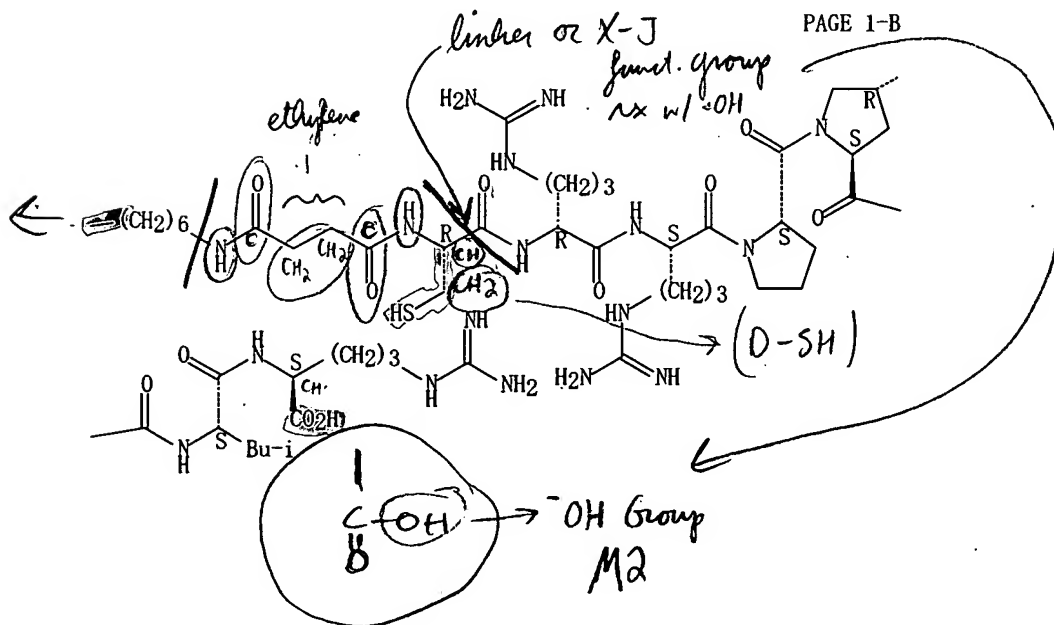
CN L-Arginine, 1,1'-[1,6-hexanediylbis[imino(1,4-dioxo-4,1-butanediyl)]]bis[L-cysteinyl-D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-glycyl-L-phenylalanyl-L-seryl-D-phenylalanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

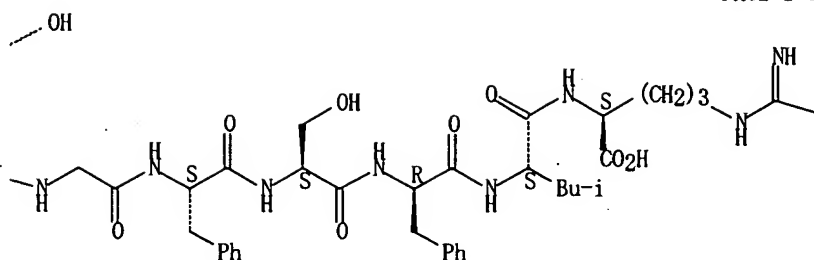


PAGE 1-B



Search done by Noble Jarrell

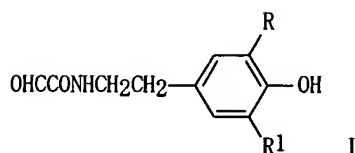
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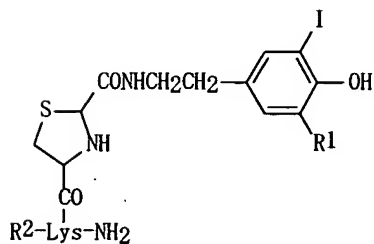
PAGE 1-D

NH₂

L14 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1995:805421 HCAPLUS
 DN 124:56644
 ED Entered STN: 21 Sep 1995
 TI A novel approach for iodolabeling synthetic peptides
 AU Zhao, Zhan-Gong; Lam, Kit S.
 CS Coll. Med., Univ. Arizona, Tucson, AZ, 87524, USA
 SO Journal of the Chemical Society, Chemical Communications (1995),
 (17), 1739-40
 CODEN: JCCCAT; ISSN: 0022-4936
 PB Royal Society of Chemistry
 DT Journal
 LA English
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 OS CASREACT 124:56644
 GI



I



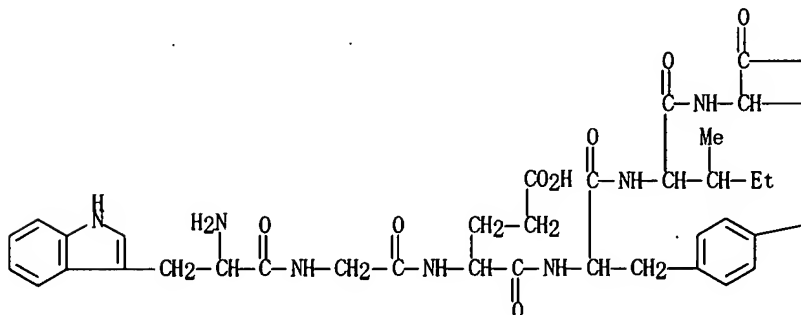
II

AB A novel, highly specific iodolabeling reagent, glyoxylyltyramide I (R = R1 = H) and its iodo-substituted derivs. I (R = iodo, R1 = H, iodo) are synthesized and used for the specific labeling of linker modified Leu-enkephalin analog II.
 ST glyoxylyltyramide iodolabeling reagent cysteine peptide; leucine enkephalin iodolabeled prepn

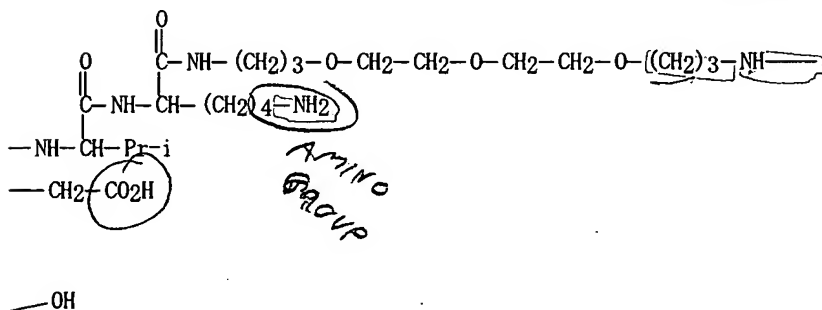
Search done by Noble Jarrell

- IT Peptides, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (a novel approach for iodolabeling synthetic peptides)
- IT 51-67-2, Tyramine 3262-72-4 103213-32-7 **172089-13-3**
 172089-14-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (a novel approach for iodolabeling synthetic peptides)
- IT 172089-08-6P 172089-10-0P 172089-11-1P 172089-15-5P 172089-16-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (a novel approach for iodolabeling synthetic peptides)
- IT 172089-09-7P 172089-12-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (a novel approach for iodolabeling synthetic peptides)
- IT **172089-13-3**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (a novel approach for iodolabeling synthetic peptides)
- RN 172089-13-3 HCAPLUS
- CN D-Lysinamide, D-tryptophylglycyl-D- α -glutamyl-D-tyrosyl-D-isoleucyl-D- α -aspartyl-D-valyl-N-[21-amino-20-(mercaptomethyl)-15, 18, 21-trioxo-4, 7, 10-trioxa-14, 19-diazaheneicos-1-yl]-, (R)- (9CI) (CA INDEX NAME)

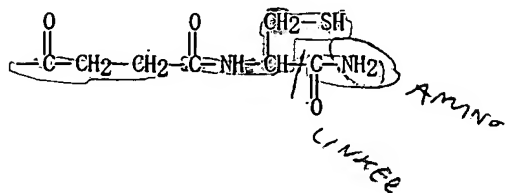
PAGE 1-A



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PAGE 1-C



~~NO OH⁻~~

Search done by Noble Jarrell

L14 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1995:484599 HCAPLUS
 DN 122:222936
 ED Entered STN: 13 Apr 1995
 TI Adhesive compositions for surgical use comprising sulfur-containing polymers
 IN Constancis, Alain; Soula, Gerard; Tayot, Jean Louis; Tiollier, Jerome
 PA Imedex S.A., Fr.
 SO Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW

DT Patent
 LA French
 IC ICM A61L025-00
 ICS A61L027-00; A61L031-00
 CC 63-7 (Pharmaceuticals)
 Section cross-reference(s): 3

FAN. CNT 1

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	FR 2707878	B1	19970214		
	AU 9467579	A1	19950202	AU 1994-67579	19940719 <—
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	ECLA	A61L024/04M+C08L81/04; A61L024/04R+C08L81/04; A61L027/18+C08L81/04; A61L027/26+C08L81/04; A61L031/04B+C08L81/04; A61L031/06+C08L81/04 <—

AB A biocompatible, biodegradable adhesive for surgical use comprise R3S(CH2)xCH(COR1)NHCORCONHCH(COR2)(CH2)ySR4 (R = C1-50 hydrocarbyl; R1, R2 = OR5, NHCH(COOR7)(CH2)zSR6, NH(CH2)ySR6; R3, R4, R5, R6, R7= H, aliphatic, alicyclic, aromatic, CH3, C2H5). Thus, 25 g of cystine dimethylester (I).HCl in 400 mL dimethylacetamide was mixed with 41.2 mL triethylamine and 8.1 mL succinyl chloride (II) in 100mL dimethylacetamide and stirred for 24 h at room temperature after which the triethylammonium salt was separated and reaction mixture was precipitated in 5L water to obtain I.II copolymer which was separated and purified. Use of title adhesives for protection of anastomoses and tissue and adhesion of skin in plastic surgery is described.

ST adhesive compn polymer surgery

IT Medical goods

(adhesive cements, adhesive compns. for surgical use comprising sulfur-containing polymers)

IT Medical goods

(bone cements, adhesive compns. for surgical use comprising sulfur-containing polymers)

IT Medical goods

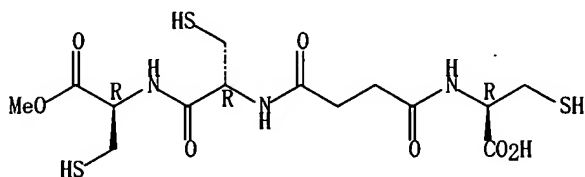
(dressings, adhesive, adhesive compns. for surgical use comprising sulfur-containing polymers)

IT Pharmaceutical dosage forms

(gels, adhesive compns. for surgical use comprising sulfur-containing

- polymers)
- IT Pharmaceutical dosage forms
(liqs., adhesive compns. for surgical use comprising sulfur-containing polymers)
- IT Pharmaceutical dosage forms
(particles, adhesive compns. for surgical use comprising sulfur-containing polymers)
- IT Pharmaceutical dosage forms
(sprays, adhesive compns. for surgical use comprising sulfur-containing polymers)
- IT 998-40-3, Tributylphosphine 3483-12-3, Dithiothreitol
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(adhesive compns. for surgical use comprising sulfur-containing polymers)
- IT 162221-19-4DP, hydrolyzed 162221-19-4P 162221-20-7DP, hydrolyzed
162221-20-7P 162221-21-8P 162221-22-9P 162221-23-0P
162221-24-1P 162221-25-2P 162221-26-3P
162221-27-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(adhesive compns. for surgical use comprising sulfur-containing polymers)
- IT 162221-22-9P 162221-23-0P 162221-24-1P
162221-25-2P 162221-26-3P 162221-27-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(adhesive compns. for surgical use comprising sulfur-containing polymers)
- RN 162221-22-9 HCAPLUS
- CN L-Cysteine, N-[N-[4-[(1-carboxy-2-mercaptoethyl)amino]-1,4-dioxobutyl]-L-cysteinyl]-, 1-methyl ester, (R)- (9CI) (CA INDEX NAME)

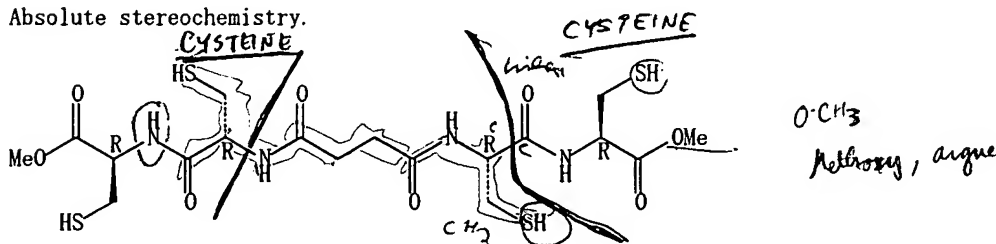
Absolute stereochemistry.



RN 162221-23-0 HCAPLUS

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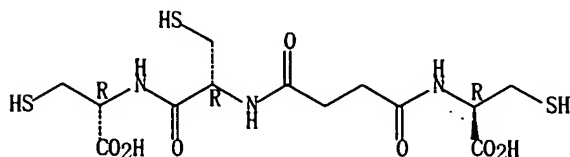
Absolute stereochemistry.



RN 162221-24-1 HCAPLUS

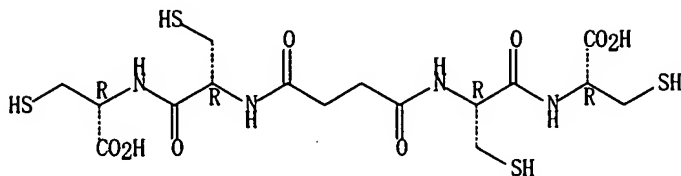
CN L-Cysteine, N-[N-[4-[(1-carboxy-2-mercaptoethyl)amino]-1,4-dioxobutyl]-L-cysteinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



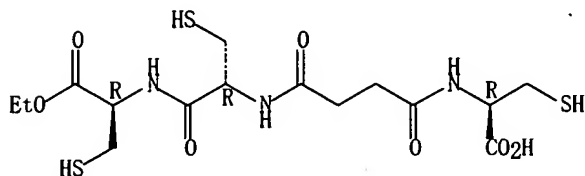
RN 162221-25-2 HCAPLUS
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Absolute stereochemistry.



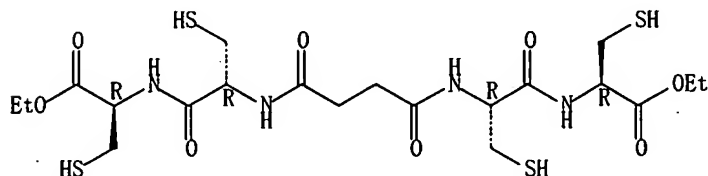
RN 162221-26-3 HCAPLUS
 CN L-Cysteine, N-[N-[4-[(1-carboxy-2-mercaptoethyl)amino]-1,4-dioxobutyl]-L-cysteinyl]-, 1-ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 162221-27-4 HCAPLUS
 CN L-Cysteine, 1,1'-(1,4-dioxo-1,4-butanediyl)bis[L-cysteinyl-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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